

(19) United States

(12) Patent Application Publication (10) Pub. No.: US 2021/0292338 A1 SEGER et al.

Sep. 23, 2021 (43) **Pub. Date:**

(54) INHIBITORS OF ERK NUCLEAR TRANSLOCATION

(71) Applicants: Yeda Research and Development Co. Ltd., Rehovot (IL); Universitat de Barcelona, Barcelona (ES); Institució Catalana de Recerca I Estudis Avançats, Barcelona (ES)

(72) Inventors: Rony SEGER, Rehovot (IL); Karen FLORES, Rehovot (IL); Xavier BARRIL ALONSO, Barcelona (ES); Carlos GALDEANO CANTADOR, Barcelona (ES)

(73) Assignees: Yeda Research and Development Co. Ltd., Rehovot (IL); Universitat de Barcelona, Barcelona (ES); Institució Catalana de Recerca I Estudis Avançats, Barcelona (ES)

(21) Appl. No.: 17/337,570

(22) Filed: Jun. 3, 2021

Related U.S. Application Data

- (63) Continuation of application No. PCT/IL2019/ 051326, filed on Dec. 3, 2019.
- (60) Provisional application No. 62/774,360, filed on Dec. 3, 2018.

Publication Classification

(51) Int. Cl. C07D 498/04 (2006.01)A61K 45/06 (2006.01)A61P 35/00 (2006.01)

NTS (SPS)

(52) U.S. Cl. CPC C07D 498/04 (2013.01); A61P 35/00 (2018.01); A61K 45/06 (2013.01)

(57)ABSTRACT

Described herein are compounds having Formula I or For-

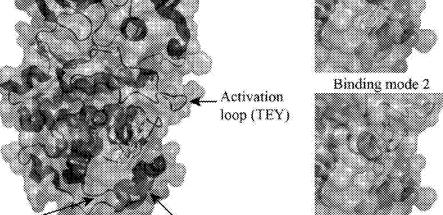
Formula I Formula II

wherein each dashed line independently represents a saturated or unsaturated bond; R₁ and R'₁ are aryl or heteroaryl as defined herein; and R_2 and R'_2 are as defined herein. Further described is a method of inhibiting nuclear translocation of ERK1/2 in a cell, by contacting the cell with a compound having Formula I or Formula II. The compounds may also be for use in treating a disease or disorder associated with nuclear translocation of ERK1/2.

Specification includes a Sequence Listing.



Z56 binding modes to ERK2



Insert domain